

Application Serial No.: 10/801,608
Inventor(s): Allegri et al.
Attorney Docket No.: 100508-00023

BEST AVAILABLE COPY

II. AMENDMENTS TO THE CLAIMS

Claim 1. (Original) A process for the oxidation of thioethers to sulfoxides or sulfones or for the oxidation of sulfoxides to sulfones by treatment of thioethers or sulfoxides with ^{in the presence of a solvent} an oxidizing amount of ϵ -phthalimidoperhexanoic acid.

Claim 2. (Original) A process as claimed in claim 1, wherein a thioether is oxidized to sulfoxide and a sulfoxide is oxidized to sulfone, wherein ϵ -phthalimidoperhexanoic acid is used in amount ranging from 0.8 to 1.5 equivalents per equivalent of substrate.

Claim 3. (Currently Amended) A process as claimed in claim 1, wherein a thioether is oxidized to a sulfone, wherein ϵ -phthalimidoperhexanoic acid is used in amounts ranging from 1.5 to 3 equivalents per equivalent of substrate.

Claim 4. (Currently Amended) A process as claimed in claim 1, wherein the oxidation is carried out at a temperature ranging from -20°C to the reflux temperature of the ^{the} solvent, for a reaction time ranging from 0.5 to 24 hours.

Claim 5. (Currently Amended) A process as claimed in claim 1, wherein the oxidation is carried out in a ~~water-miscible~~ water-miscible or ~~immiscible~~ immiscible, protic or aprotic organic solvent.

Claim 6. (Original) A process as claimed in claim 5, wherein the solvent is selected from aliphatic or aromatic chlorides, aromatic hydrocarbons, esters of a carboxylic acid, alkyl carbonates, alkanols, alkyl or cycloalkyl ketones, or mixtures thereof.

Claim 7. (Currently Amended) A process as claimed in claims 1 for the preparation of a biologically active compound containing a sulfinyl or sulfonyl group, the process comprising: ^{Compound}

a) oxidation of an intermediate containing at least one thioether to at least one sulfoxide or sulfone by treatment of the at least one thioether with an oxidizing amount of ϵ -phthalimidoperhexanoic acid or

Application Serial No.: 10/801,608
Inventor(s): Allegri et al.
Attorney Docket No.: 100506-00023

BEST AVAILABLE COPY

Compound

b) oxidation of an intermediate containing at least one sulfoxide to at least one sulfone by treatment of the at least one sulfoxide group with an oxidizing amount of ε-phthalimidoperhexanoic acid.

Claim 8. (Currently Amended) A process as claimed in claim 7, wherein the biologically active compound is selected from the group consisting of modafinil, modafinil-sulfone, sulindac, sulindac-sulfone, dapsone, omeprazole, pantoprazole, lansoprazole, timoprazole, picoprazole, rabeprazole and exomeprazole 2-[(diphenylmethyl)sulfinyl]acetamide (Modafinil); 2-[(diphenylmethyl)sulfonyl]acetamide (Modafinil-sulfone); (Z)-5-fluoro-2-methyl-1-[(4-(methyl-sulfinyl)phenyl)methylene]-1H-indene-3-acetic acid (Sulindac); (Z)-5-fluoro-2-methyl-1-[(4-(methyl-sulfonyl)phenyl)methylene]-1H-Indene-3-acetic acid (Sulindac-sulfone); 4,4'-sulfonylbenzenamine (Dapsone); 5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Omeprazole); 5-difluoromethoxy-2-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Pantoprazole); 2-[(methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Lansoprazole); 2-[(2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Timoprazole); 5-ethoxycarbonyl-6-methyl-2-[(3-methyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Picoprazole); 2-[(3-methyl-4-(3-methoxypropoxy)-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Rabeprazole); (S)-5-methoxy-2-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (Exomeprazole).

7

Claim 9. (Original) A process as claimed in claim 1, wherein the intermediate compound containing a thioether group is selected from the group consisting of:

1-(4-fluorophenyl)-2-(4-methylthio-phenyl)-ethanone;
(Z)-5-fluoro-2-methyl-1-[(4-(methylthio)-phenyl)methylene]-1H-indene-3-acetic acid;
2-[(diphenylmethyl)thio]acetic acid;
2-[(diphenylmethyl)thio]acetamide;
4,4'-thiobisbenzenamine;

Application Serial No.: 10/601,608
Inventor(s): Allegri et al.
Attorney Docket No.: 100506-00023

BEST AVAILABLE COPY

5-methoxy-2 [[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole);
5-difluoromethoxy)-2-[(4-chloro-3-methoxy-2-pyridinyl)methyl]thio]-1H-benzimidazole;
5-difluoromethoxy-2[[3,4-dimethoxy-2-pyridinyl)methyl]thio]-1H-benzimidazole;
2-[[[methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl)methyl]thio]-1H-benzimidazole;
2-[(2-pyridinyl)methyl]thio]-1H-benzimidazole;
5-ethoxycarbonyl-6-methyl-2 [[(3-methyl-2-pyridinyl)methyl]thio]-1H-benzimidazole;
2-[[[3-methyl-4-(3-methoxypropoxy)-2-pyridinyl)methyl]thio]-1H-benzimidazole;
and
(S)-(5-methoxy-2[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole).

9

Claim 10. (Currently Amended) A process as claimed in claim 1, wherein the intermediate compound containing a sulfoxide group is selected from the group consisting of sulindac, modafinil, (Z)-5-fluoro-2-methyl-1-[(4-(methylsulfinyl)phenyl)methylene]-1H-Indene-3-acetic acid (Sulindac), 2-[(diphenylmethyl)sulfinyl]acetamide (Modafinil), 1-(4-fluorophenyl)-2-(4-methylsulfinylphenyl)-ethanone and 2-[(diphenylmethyl)sulfinyl]acetic acid.